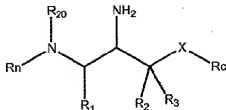


1. (currently amended) A compound of the formula:



or a pharmaceutically acceptable salt or ester thereof;

wherein X is ~~O, S, NR₂₀, or NR₂₀NR₂₀~~;

wherein each R₂₀ is H, C₁₋₆ alkyl or alkenyl, C₁₋₆ haloalkyl or C₄₋₇ cycloalkyl;

wherein R₁ is ~~-(CH₂)₁₋₆-S(O)₀₋₂-(C₂-C₆ alkyl), or~~

=> fil hcaplus

FILE 'HCAPLUS' ENTERED AT 09:28:45 ON 26 FEB 2009

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FILE COVERS 1907 - 26 Feb 2009 VOL 150 ISS 9

FILE LAST UPDATED: 25 Feb 2009 (20090225/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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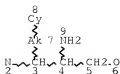
This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE
 L2 94 SEA FILE=REGISTRY SSS FUL L1
 L65 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L2

=> d ibib abs hitstr l65 1-13

L65 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2007:664102 HCAPLUS Full-text
 DOCUMENT NUMBER: 147:268319

TITLE: Discovery of Isonicotinamide Derived β -Secretase
 Inhibitors: In Vivo Reduction of β -Amyloid
 AUTHOR(S): Stanton, Matthew G.; Stauffer, Shaun R.; Gregro,
 Alison R.; Steinbeiser, Melissa; Nantermet, Philippe;
 Sankaranarayanan, Sethu; Price, Eric A.; Wu, Guoxin;
 Crouthamel, Ming-Chih; Ellis, Joan; Lai, Ming-Tain;
 Espeseth, Amy S.; Shi, Xiao-Ping; Jin, Lixia; Colussi,
 Dennis; Pietrak, Beth; Huang, Qian; Xu, Min; Simon,
 Adam J.; Graham, Samuel L.; Vacca, Joseph P.; Selnick,
 Harold

CORPORATE SOURCE: Departments of Medicinal Chemistry, Alzheimer's
 Research, and Drug Metabolism, Merck Research
 Laboratories, West Point, PA, 19486, USA

SOURCE: Journal of Medicinal Chemistry (2007), 50(15),
 3431-3433

CODEN: JMCMAR; ISSN: 0022-2623
 American Chemical Society

PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:268319

AB β -Secretase inhibition offers an exciting opportunity for therapeutic
 intervention in the progression of Alzheimer's disease. A series of
 isonicotinamides derived from traditional aspartyl protease transition state
 isostere inhibitors has been optimized to yield low nanomolar inhibitors with
 sufficient penetration across the blood-brain barrier to demonstrate β -amyloid
 lowering in a murine model.

IT 946429-59-3P

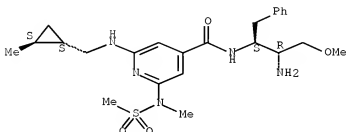
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); USES (Uses)
 (isonicotinamide derivs. as β -secretase inhibitors and in vivo

reduction of β -amyloid)

RN 946420-59-3 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 860312-26-1P

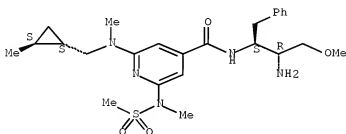
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(isonicotinamide derivs. as β -secretase inhibitors and in vivo reduction of β -amyloid)

RN 860312-26-1 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[[[(1S,2S)-2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:228885 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 146:462107

TITLE: Discovery and SAR of isonicotinamide BACE-1 inhibitors that bind β -secretase in a N-terminal 10s-loop down conformation

AUTHOR(S): Stauffer, Shaun R.; Stanton, Matthew G.; Grego, Alison R.; Steinbeiser, Melissa A.; Shaffer, Jennifer R.; Nantermet, Philippe G.; Barrow, James C.; Rittle,

Kenneth E.; Collusi, Dennis; Espeseth, Amy S.; Lai, Ming-Tain; Pietrak, Beth L.; Holloway, M. Katharine; McGaughey, Georgia B.; Munshi, Sanjeev K.; Hochman, Jerome H.; Simon, Adam J.; Selnick, Harold G.; Graham, Samuel L.; Vacca, Joseph P.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(6), 1788-1792
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:462107

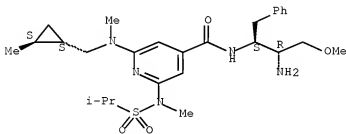
AB A series of low-mol. weight 2,6-diamino-isonicotinamide BACE-1 inhibitors containing an amine transition-state isostere were synthesized and shown to be highly potent in both enzymic and cell-based assays. These inhibitors contain a trans-S,S-Me cyclopropane P3 which bind BACE-1 in a 10s-loop down conformation giving rise to highly potent compds. with favorable mol. weight and moderate to high susceptibility to P-glycoprotein (P-gp) efflux.

IT 860312-09-0P 860312-14-7P 860312-26-1P
935470-46-5P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, BACE-1 inhibitory and SAR of isonicotinamides using amination of dichloropyridinecarboxylate with sulfonylamides and secondary amines followed by amidation with primary amines as key steps)

RN 860312-09-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

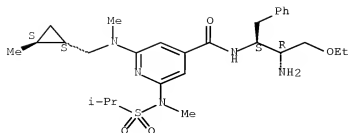
Absolute stereochemistry.



RN 860312-14-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

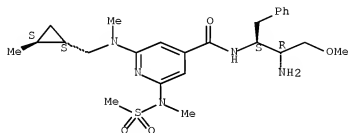
Absolute stereochemistry.



RN 860312-26-1 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

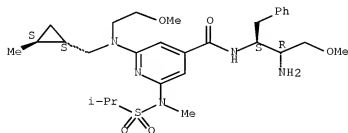
Absolute stereochemistry.



RN 935470-46-5 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:638626 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:153293
 TITLE: Preparation of phenylamides and pyridylamides as β -secretase inhibitors
 INVENTOR(S): Barrow, James C.; Coburn, Craig A.; Nantermet, Philippe G.; Selnick, Harold G.; Stachel, Shawn J.; Stanton, Matthew G.; Stauffer, Shaun R.; Zhuang, Linghang; Davis, Jennifer R.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 121 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005065195	A2	20050721	WO 2004-US42173	20041215
WO 2005065195	A3	20060406		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
RW:	BW, BY, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, AY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004311749	A1	20050721	AU 2004-311749	20041215
CA 2548849	A1	20050721	CA 2004-2548849	20041215
EP 1697308	A2	20060906	EP 2004-814367	20041215
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1898199	A	20070117	CN 2004-80038063	20041215
JP 2007517781	T	20070705	JP 2006-545405	20041215
IN 2006DN02139	A	20070629	IN 2006-DN2139	20060419
US 20070142634	A1	20070621	US 2006-582856	20060614
PRIORITY APPLN. INFO.:			US 2003-531423P	P 20031219
			WO 2004-US42173	W 20041215
OTHER SOURCE(S):	CASREACT 143:153293; MARPAT 143:153293			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [Y = CH or N; Q1 = OH or NH2; Q2 and Q3 independently = H or halo; Ra = H, cycloalkyl, (un)substituted alkyl; Rb = H, (un)substituted alkyl, cycloalkyl, etc.; m = 1-2; R1 = (un)substituted aryl, heteroaryl, alkyl, etc.; R2 = (R4-SO2)N(R5); R3 = R6R'CHNHCOR8R9NCO; R10R11N, etc.; R4 = (un)substituted alkyl, cycloalkyl, heteroaryl, etc.; R5 = H, (un)substituted alkyl, aryl, etc., or R4 and R5 together form sulfurheterocycle containing optionally one more nitrogen atom; R6 = alkyl or perfluoroalkyl; R7 = (un)substituted aryl or pyridyl; R8 and R9 independently = H, (un)substituted

alkyl, cycloalkyl, or R8 and R9 together with the nitrogen atom to which they are attached form (un)substituted heterocycle; R10 = (un)substituted alkyl, cycloalkyl, -(CH₂)_x-Ph, etc.; x = 1-4; R11 = H, (un)substituted alkyl, cycloalkyl and their pharmaceutically acceptable salts, are prepared and disclosed as β -secretase inhibitors. Thus, e.g., II was prepared by amidation of 2-[(2-methylcyclopropyl)methyl]amino]-6-[methyl(methylsulfonyl)amino]isonicotinic acid (preparation given) with (2S,3S)-3-azido-1-phenylheptan-2-amine (preparation given) and subsequent reduction. The activity of I was evaluated in a homogeneous end point fluorescence resonance energy transfer (FRET) assay and it was revealed that compds. of the invention generally had an inhibitory capability towards β -secretase enzyme with an IC₅₀ value from about 1 nM to 100 μ M. I as β -secretase inhibitors should prove useful in the treatment of Alzheimer's disease. Pharmaceutical compns. comprising I are disclosed.

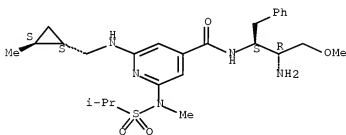
IT 860312-31-8P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of phenylamides and pyridylamides as β -secretase inhibitors)

RN 860312-31-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(1S,2S)-2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 860312-03-4P 860312-08-9P 860312-09-0P

860312-12-5P 860312-14-7P 860312-26-1P

860312-27-2P 860312-28-3P 860312-29-4P

860312-30-7P 860312-38-5P 860312-39-6P

860312-40-9P 860312-41-0P 860312-42-1P

860312-43-2P 860314-75-0P 860314-81-4P

860314-93-6P 860314-84-7P 860314-85-8P

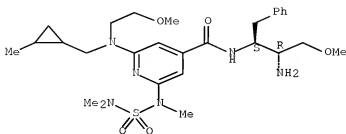
860314-86-9P 860314-92-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylamides and pyridylamides as β -secretase inhibitors)

RN 860312-03-4 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[[[(dimethylamino)sulfonyl]methylamino]-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

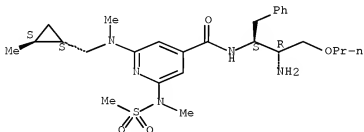
Absolute stereochemistry.



RN 860312-08-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-propoxypropyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

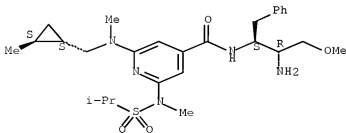
Absolute stereochemistry.



RN 860312-09-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

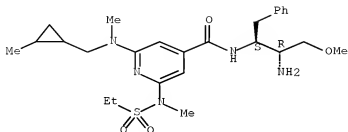
Absolute stereochemistry.



RN 860312-12-5 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

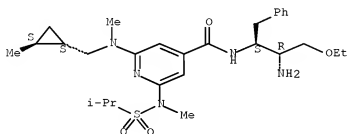
Absolute stereochemistry.



RN 860312-14-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-ethoxy-1-(phenylmethyl)propyl]-
2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-
methylethyl)sulfonyl]amino]- (CA INDEX NAME)

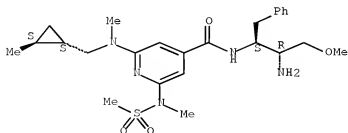
Absolute stereochemistry.



RN 860312-26-1 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-
6-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

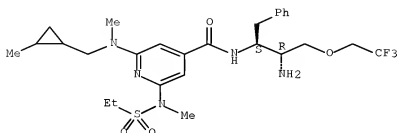


RN 860312-27-2 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-(2,2,2-
trifluoroethoxy)propyl]-2-[(ethylsulfonyl)methyl]amino]-6-[methyl[(2-

methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

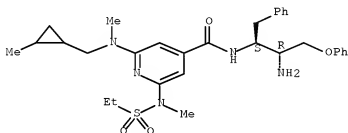
Absolute stereochemistry.



RN 860312-28-3 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-phenoxy-1-(phenylmethyl)propyl]-2-[(ethylsulfonyl)methylamino]-6-[methyl[(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

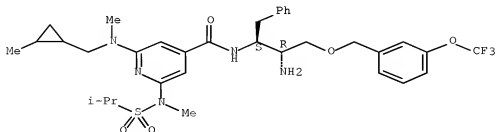
Absolute stereochemistry.



RN 860312-29-4 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[(3-(trifluoromethoxy)phenyl)methoxy]propyl]-2-[methyl[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

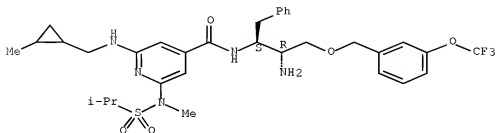
Absolute stereochemistry.



RN 860312-30-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-1-(phenylmethyl)-3-[[3-(trifluoromethoxy)phenyl]methoxy]propyl]-2-[[[(2-methylcyclopropyl)methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]-(CA INDEX NAME)]

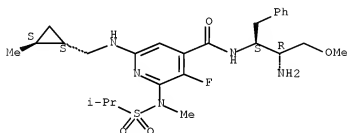
Absolute stereochemistry.



RN 860312-38-5 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl)methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-(CA INDEX NAME)]

Absolute stereochemistry.



RN 860312-39-6 HCAPLUS

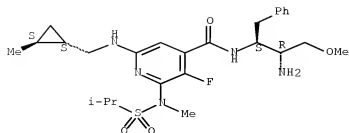
CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[[[(1S,2S)-2-methylcyclopropyl)methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)]

CM 1

CRN 860312-38-5

CMF C26 H38 F N5 O4 S

Absolute stereochemistry.



CM 2

CRN 76-05-1

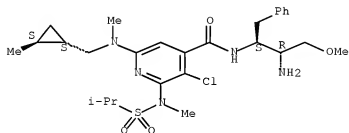
CMF C2 H F3 O2



RN 860312-40-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-6-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-2-[methyl[(1-methylethyl)sulfonyl]amino]-
(CA INDEX NAME)

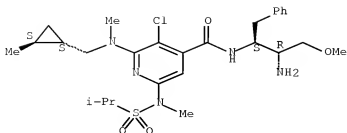
Absolute stereochemistry.



RN 860312-41-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-chloro-2-[methyl[(1S,2S)-2-methylcyclopropyl]methyl]amino]-6-[methyl[(1-methylethyl)sulfonyl]amino]-
(CA INDEX NAME)

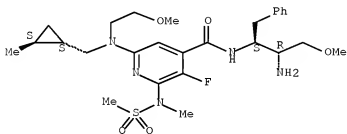
Absolute stereochemistry.



RN 860312-42-1 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)amino]-2-methylcyclopropylmethylamino]-2-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

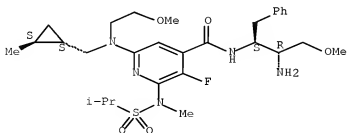
Absolute stereochemistry.



RN 860312-43-2 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S,2R)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-fluoro-6-[(2-methoxyethyl)amino]-2-methylcyclopropylmethylamino]-2-[methyl(1-methylethyl)sulfonyl]amino]- (CA INDEX NAME)

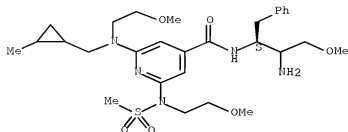
Absolute stereochemistry.



RN 860314-79-0 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)amino]-6-[(2-methoxyethyl)amino]-2-methylcyclopropylmethylamino]-2-[methyl(methylsulfonyl)amino]- (CA INDEX NAME)

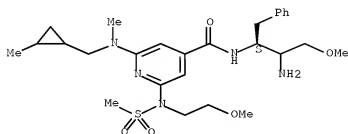
Absolute stereochemistry.



RN 860314-81-4 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)(methylsulfonyl)amino]-6-[methyl(2-methylcyclopropyl)methyl]amino]- (CA INDEX NAME)

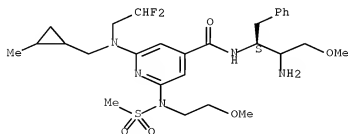
Absolute stereochemistry.



RN 860314-83-6 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2,2-difluoroethyl)(2-methylcyclopropyl)methyl]amino]-6-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

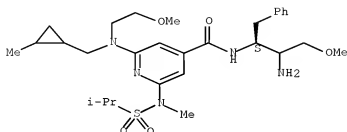


RN 860314-84-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)(2-methylcyclopropyl)methyl]amino]-6-[(1-phenylethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

methylethyl)sulfonylamino]- (CA INDEX NAME)

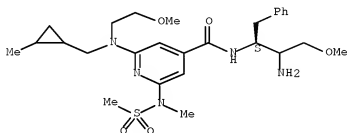
Absolute stereochemistry.



RN 860314-85-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(methyl(methylsulfonyl)amino)]- (CA INDEX NAME)

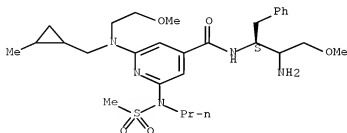
Absolute stereochemistry.



RN 860314-86-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-2-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-6-[(methylsulfonyl)propylamino]- (CA INDEX NAME)

Absolute stereochemistry.

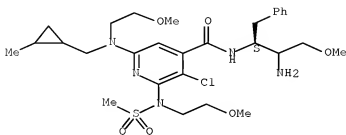


RN 860314-92-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[(1S)-2-amino-3-methoxy-1-(phenylmethyl)propyl]-3-

chloro-6-[(2-methoxyethyl)[(2-methylcyclopropyl)methyl]amino]-2-[(2-methoxyethyl)(methylsulfonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:368872 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 140:386046
 TITLE: Substituted peptides useful in the treatment of Alzheimer's disease, and preparation thereof
 INVENTOR(S): Beck, James T.
 PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

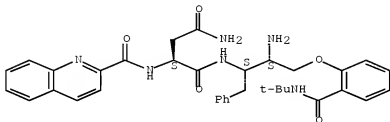
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037179	A2	20040506	WO 2003-US33312	20031021
WO 2004037179	A3	20040708		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003286530	A1	20040513	AU 2003-286530	20031021
US 20060148803	A1	20060706	US 2005-532285	20051122
PRIORITY APPLN. INFO.:			US 2002-420062P	P 20021021
			WO 2003-US33312	W 20031021

OTHER SOURCE(S): MARPAT 140:386046

AB Disclosed are methods for treating Alzheimer's disease, and other diseases, and/or inhibiting β -secretase enzyme, and/or inhibiting deposition of A β peptide in a mammal, by use of substituted peptide compds. (Markush included). Preparation of the substituted peptides is also described.

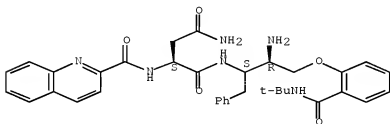
IT 162240-00-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (peptide derivs. for treatment of Alzheimer's disease, and preparation)
 RN 162240-00-8 HCAPLUS
 CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



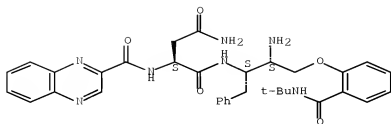
IT 162128-16-7 162128-18-9 162128-20-3
 162128-22-5 162128-24-7 162128-26-9
 162128-28-1 162128-31-6 162128-34-9
 684212-03-1
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (peptide derivs. for treatment of Alzheimer's disease, and preparation)
 RN 162128-16-7 HCAPLUS
 CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 162128-18-9 HCAPLUS
 CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinylylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

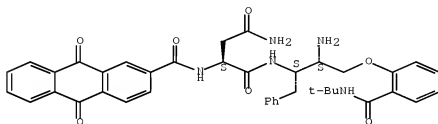
Absolute stereochemistry.



RN 162128-20-3 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

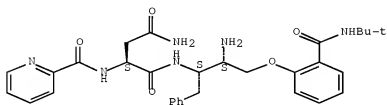
Absolute stereochemistry.



RN 162128-22-5 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

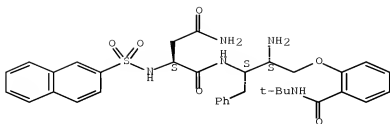
Absolute stereochemistry.



RN 162128-24-7 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenyl)sulfonyl]amino]-, (2S)- (CA INDEX NAME)

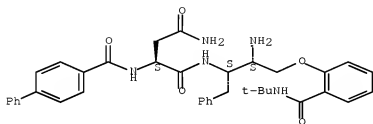
Absolute stereochemistry.



RN 162128-26-9 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[[(1,1'-biphenyl)-4-ylcarbonyl]amino]-, (2S)- (CA INDEX NAME)

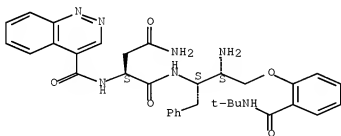
Absolute stereochemistry.



RN 162128-28-1 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

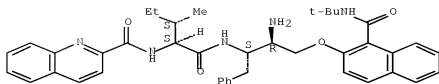
Absolute stereochemistry.



RN 162128-31-6 HCAPLUS

CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[1-[[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

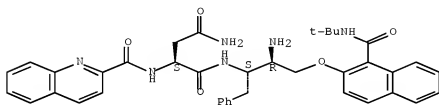
Absolute stereochemistry.



RN 162128-34-9 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

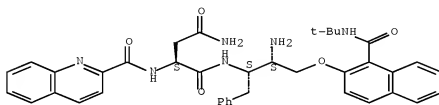
Absolute stereochemistry.



RN 684212-03-1 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[[1-[[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 162128-39-4E

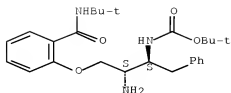
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(peptide derivs. for treatment of Alzheimer's disease, and preparation)

RN 162128-39-4 HCAPLUS

CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

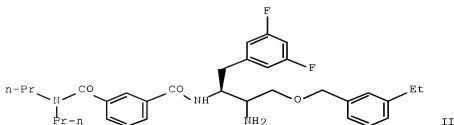


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:252474 HCAPLUS Full-text
 DOCUMENT NUMBER: 140:270632
 TITLE: Preparation of ring-containing aminoether carboxamides as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide
 INVENTOR(S): Beck, James P.; Drowns, Matthew; Warpehoski, Martha A.
 PATENT ASSIGNEE(S): Pharmacia & Upjohn, USA
 SOURCE: PCT Int. Appl., 122 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024675	A1	20040325	WO 2003-US28388	20030910
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2498269	A1	20040325	CA 2003-2498269	20030910
AU 2003273310	A1	20040430	AU 2003-273310	20030910
EP 1537072	A1	20050608	EP 2003-755809	20030910
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003014180	A	20050809	BR 2003-14180	20030910
JP 2005538177	T	20051215	JP 2004-536446	20030910
MX 2005002705	A	20050908	MX 2005-2705	20050310
US 20060194966	A1	20060831	US 2006-527294	20060222
PRIORITY APPLN. INFO.:			US 2002-409565P	P 20020910
			WO 2003-US28388	W 20030910
OTHER SOURCE(S):	MARPAT 140:270632			

GI



- AB Disclosed are RnR20NCH(R1)CH(NH2)C(R2)(R3)-X-Rc (I; variables defined below; e.g. II). Compds. disclosed herein are inhibitors of the beta-secretase enzyme (no data) and are therefore useful in the treatment of Alzheimer's disease and other diseases characterized by deposition of A beta peptide in a mammal (no data). An unspecified method of preparation is claimed, a general method is disclosed and no example preps. are included. For I: X is O, S, NR20, or NR20NR20; R20 is H, C1-6 alkyl or alkenyl, C1-6 haloalkyl or C4-7 cycloalkyl; R1 is -(CH2)1-2-S(O)0-2-(C1-C6 alkyl), C1-C10 alkyl, etc.; Rc is H, -(CR245R250)0-4-aryl, -(CR245R250)0-4-heteroaryl, etc.; Rn is R'100, -SO2R'100, -(CRR')0-6R'100, -C(O)(CRR')0-6R'100, etc.; R2, R3 = H, (un)substituted C1-C6 alkyl or R2, R3 and the C to which they are attached form a carbocycle of 3-7 C atoms, wherein one C atom is optionally replaced by a -O-, -S-, -SO2-, or -NRN-2; addnl. details are given in the claims.
- IT 574809-33-7F, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylisophthalamide 674809-34-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-35-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-bromo-N,N-dipropylisophthalamide 674809-37-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-cyano-N,N-dipropylisophthalamide 674809-39-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-40-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropyl-5-(1,3-thiazol-2-yl)isophthalamide 674809-41-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethynyl-N,N-dipropylisophthalamide 674809-43-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-ethyl-N,N-dipropylisophthalamide 674809-45-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N,N-dipropylbenzene-1,3,5-tricarboxamide 674809-47-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-5-[(dimethylamino)methyl]-N,N-dipropylisophthalamide 674809-48-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-50-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethynylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-51-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-(trifluoromethyl)benzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-52-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-(trifluoromethyl)benzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 574809-54-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 574809-56-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-isopropylbenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide

674809-58-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide
674809-59-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-methoxybenzyl)oxy]propyl]-5-methyl-N,N-dipropylisophthalamide
674809-60-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-61-1F,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide
674809-63-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-(trifluoromethyl)phenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-64-4P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-(trifluoromethyl)phenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-65-5P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-67-7F,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-isopropylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-69-9P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-71-3P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide
674809-72-4P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-74-6P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N,N-dipropylisophthalamide
674809-75-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N-dipropylpyridine-2,4-dicarboxamide 674809-77-9P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N-dipropylpyridine-2,4-dicarboxamide 674809-79-1P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-(1,3-oxazol-2-yl)-N,N'-dipropylpyridine-2,4-dicarboxamide
674809-81-5P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-6-methyl-N,N'-dipropylpyridine-2,4-dicarboxamide
674809-82-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-(1,3-oxazol-2-yl)-N-propylisophthalamide
674809-84-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-ethyl-5-methyl-N-propylisophthalamide
674809-85-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N-methyl-5-(1,3-oxazol-2-yl)isophthalamide
674809-87-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(3-ethylbenzyl)oxy]propyl]-N-butyl-N,5-dimethylisophthalamide
674809-88-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-90-6P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(6-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide
674809-91-7P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-93-9P,
N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyridin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide

674809-95-1P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-96-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-[(4-ethylpyrimidin-2-yl)methoxy]propyl]-5-methyl-N,N-dipropylisophthalamide 674809-98-4P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674809-99-5P, N'-[(1S)-2-Amino-3-butoxy-1-(3,5-difluorobenzyl)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-01-6P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-03-8P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-(3-methylbutoxy)propyl]-5-methyl-N,N-dipropylisophthalamide 674810-04-9P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-05-0P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-propoxypropyl]-5-methyl-N,N-dipropylisophthalamide 674810-07-2P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-(1,3-oxazol-2-yl)-N,N-dipropylisophthalamide 674810-08-3P, N'-[(1S)-2-Amino-1-(3,5-difluorobenzyl)-3-isobutoxypropyl]-5-methyl-N,N-dipropylisophthalamide

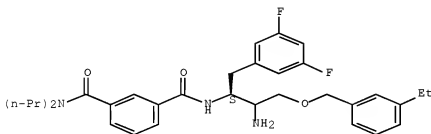
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of ring-containing aminoether carboxamides as β -secretase inhibitors for treating Alzheimer's disease and other diseases characterized by deposition of A β -peptide)

RN 674809-33-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

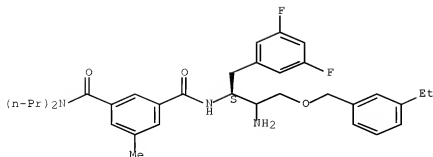
Absolute stereochemistry.



RN 674809-34-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

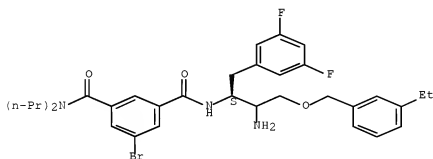
Absolute stereochemistry.



RN 674809-35-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-bromo-N1,N1-dipropyl- (CA INDEX NAME)

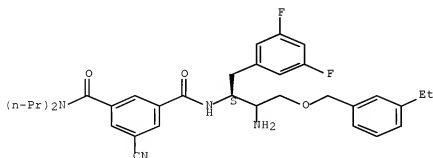
Absolute stereochemistry.



RN 674809-37-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-cyano-N1,N1-dipropyl- (CA INDEX NAME)

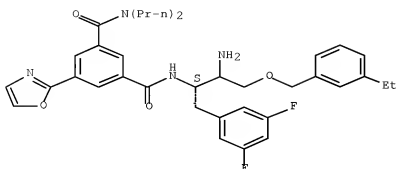
Absolute stereochemistry.



RN 674809-39-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

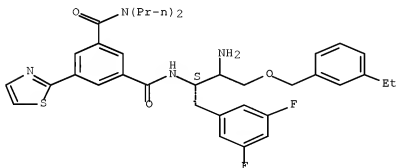
Absolute stereochemistry.



RN 674809-40-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl-5-(2-thiazolyl)- (CA
INDEX NAME)

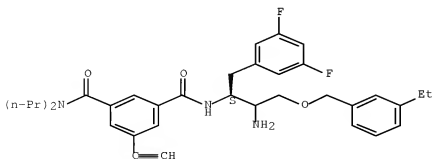
Absolute stereochemistry.



RN 674809-41-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-5-ethynyl-N1,N1-dipropyl- (CA INDEX
NAME)

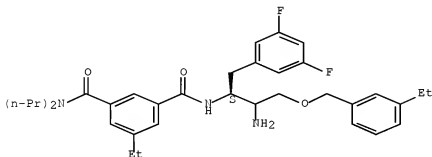
Absolute stereochemistry.



RN 674809-43-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-ethyl-N1,N1-dipropyl- (CA INDEX NAME)

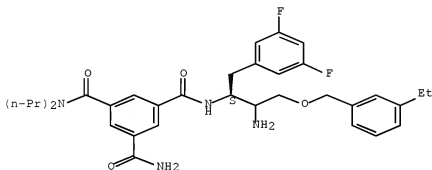
Absolute stereochemistry.



RN 674809-45-1 HCAPLUS

CN 1,3,5-Benzenetricarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1,N1-dipropyl- (CA INDEX NAME)

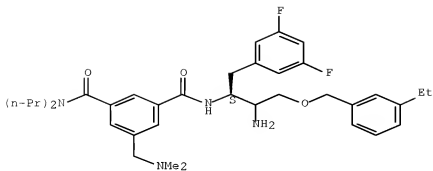
Absolute stereochemistry.



RN 674809-47-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-5-[(dimethylamino)methyl]-N1,N1-dipropyl- (CA INDEX NAME)

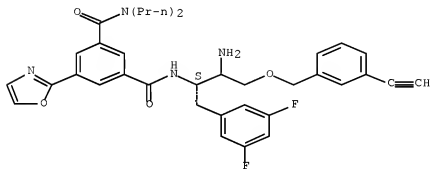
Absolute stereochemistry.



RN 674809-48-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethynylphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA
INDEX NAME)

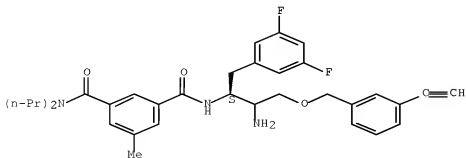
Absolute stereochemistry.



RN 674809-50-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethynylphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX
NAME)

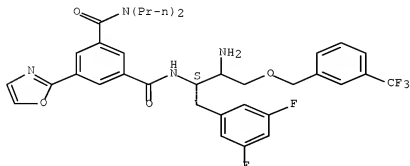
Absolute stereochemistry.



RN 674809-51-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

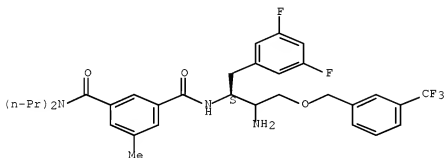
Absolute stereochemistry.



RN 674809-52-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(trifluoromethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

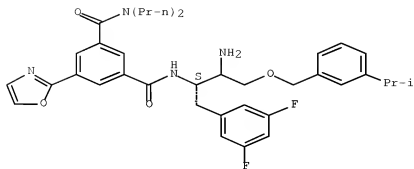
Absolute stereochemistry.



RN 674809-54-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

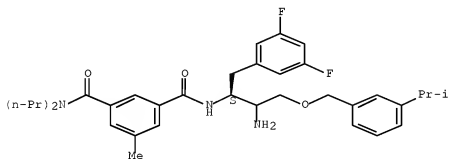
Absolute stereochemistry.



RN 674809-56-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[3-(1-methylethyl)phenyl]methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

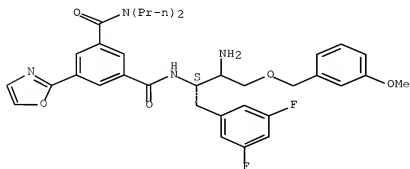
Absolute stereochemistry.



RN 674809-58-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

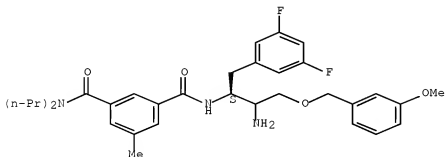
Absolute stereochemistry.



RN 674809-59-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-methoxyphenyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

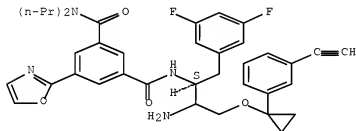
Absolute stereochemistry.



RN 674809-60-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

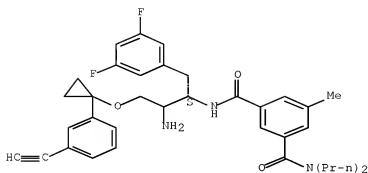
Absolute stereochemistry.



RN 674809-61-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethynylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

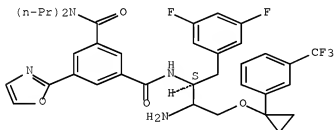
Absolute stereochemistry.



RN 674809-63-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

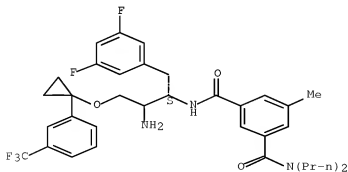
Absolute stereochemistry.



RN 674809-64-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-[3-(trifluoromethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.

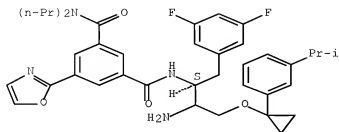


RN 674809-65-5 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-
N1,N1-dipropyl- (CA INDEX NAME)

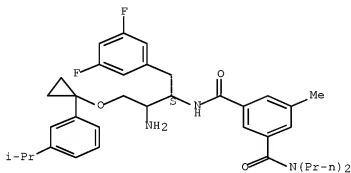
Absolute stereochemistry.



RN 674809-67-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[[1-[3-(1-methylethyl)phenyl]cyclopropyl]oxy]propyl]-5-methyl-N1,N1-
dipropyl- (CA INDEX NAME)

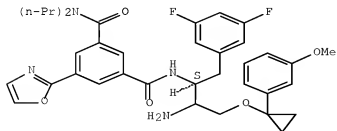
Absolute stereochemistry.



RN 674809-69-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-
dipropyl- (CA INDEX NAME)

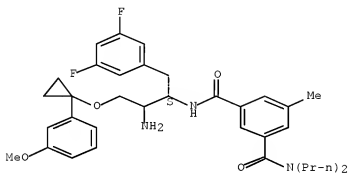
Absolute stereochemistry.



RN 674809-71-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-methoxyphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl-
(CA INDEX NAME)

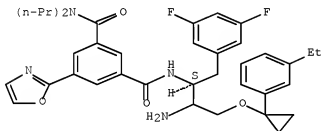
Absolute stereochemistry.



RN 674809-72-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl-
(CA INDEX NAME)

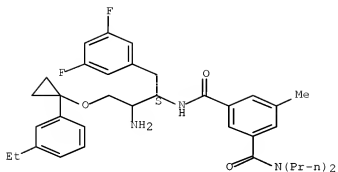
Absolute stereochemistry.



RN 674809-74-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[[1-(3-ethylphenyl)cyclopropyl]oxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

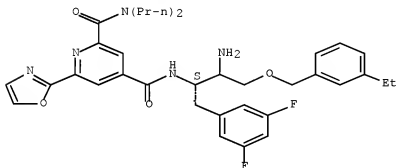
Absolute stereochemistry.



RN 674809-75-7 HCAPLUS

CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA
INDEX NAME)

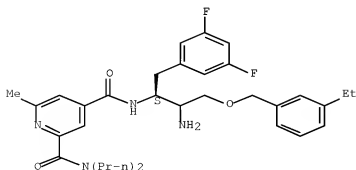
Absolute stereochemistry.



RN 674809-77-9 HCAPLUS

CN 2,4-Pyridinedicarboxamide, N4-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N2,N2-dipropyl- (CA INDEX
NAME)

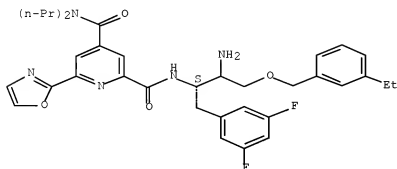
Absolute stereochemistry.



RN 674809-79-1 HCAPLUS

CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-6-(2-oxazolyl)-N4,N4-dipropyl- (CA
INDEX NAME)

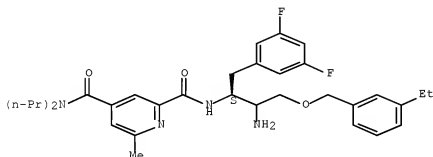
Absolute stereochemistry.



RN 674809-81-5 HCAPLUS

CN 2,4-Pyridinedicarboxamide, N2-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-6-methyl-N4,N4-dipropyl- (CA INDEX
NAME)

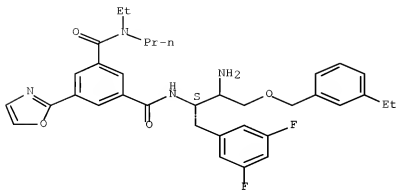
Absolute stereochemistry.



RN 674809-82-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-(2-oxazolyl)-N1-propyl- (CA
INDEX NAME)

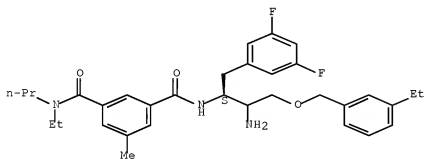
Absolute stereochemistry.



RN 674809-84-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-ethyl-5-methyl-N1-propyl- (CA INDEX NAME)

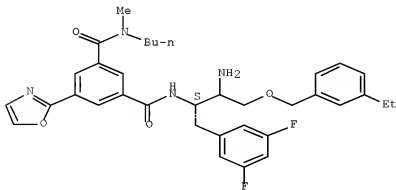
Absolute stereochemistry.



RN 674809-85-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1-methyl-5-(2-oxazolyl)- (CA INDEX NAME)

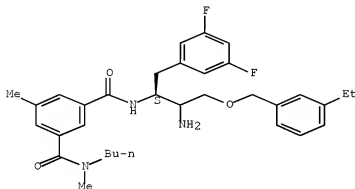
Absolute stereochemistry.



RN 674809-87-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(3-ethylphenyl)methoxy]propyl]-N1-butyl-N1,5-dimethyl- (CA INDEX NAME)

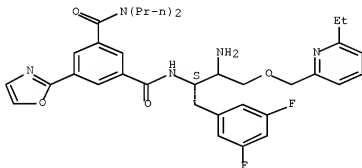
Absolute stereochemistry.



RN 674809-88-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

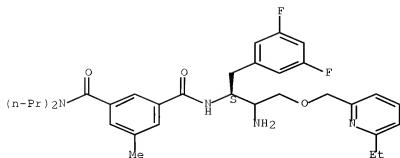
Absolute stereochemistry.



RN 674809-90-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-[(6-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

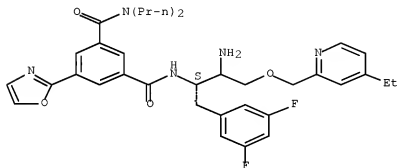
Absolute stereochemistry.



RN 674809-91-7 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl-
(CA INDEX NAME)

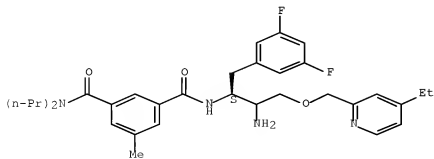
Absolute stereochemistry.



RN 674809-93-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(4-ethyl-2-pyridinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA
INDEX NAME)

Absolute stereochemistry.

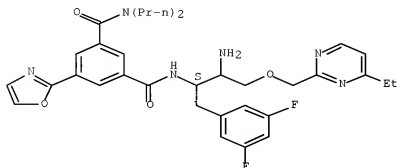


RN 674809-95-1 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-

3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl-
(CA INDEX NAME)

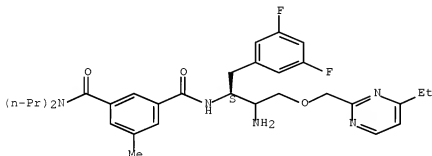
Absolute stereochemistry.



RN 674809-96-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-
3-[(4-ethyl-2-pyrimidinyl)methoxy]propyl]-5-methyl-N1,N1-dipropyl- (CA
INDEX NAME)

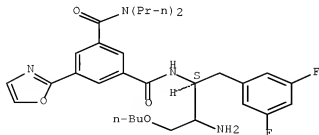
Absolute stereochemistry.



RN 674809-98-4 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-
difluorophenyl)methyl]propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX
NAME)

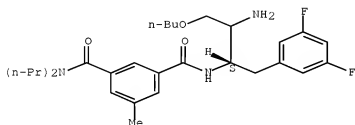
Absolute stereochemistry.



RN 674809-99-5 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-3-butoxy-1-[(3,5-difluorophenyl)methyl]propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

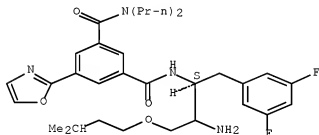
Absolute stereochemistry.



RN 674810-01-6 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

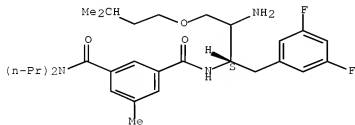
Absolute stereochemistry.



RN 674810-03-8 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(3-methylbutoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

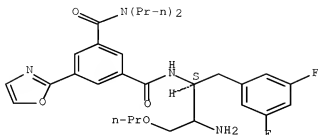
Absolute stereochemistry.



RN 674810-04-9 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

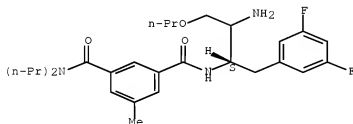
Absolute stereochemistry.



RN 674810-05-0 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-propoxypropyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

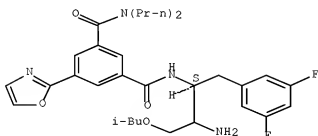
Absolute stereochemistry.



RN 674810-07-2 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-(2-oxazolyl)-N1,N1-dipropyl- (CA INDEX NAME)

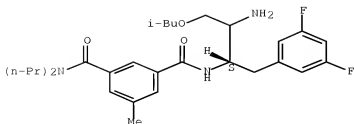
Absolute stereochemistry.



RN 674810-08-3 HCAPLUS

CN 1,3-Benzenedicarboxamide, N3-[(1S)-2-amino-1-[(3,5-difluorophenyl)methyl]-3-(2-methylpropoxy)propyl]-5-methyl-N1,N1-dipropyl- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:659358 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 131:286264

TITLE: Preparation of phenylsulfonamide derivatives as proteinase and aggrecanase inhibitors

INVENTOR(S): Kimura, Tomio; Miyazaki, Shoujiro; Ueda, Keiji; Tanzawa, Kazuhiko; Ushiyama, Shigeru; Takasaki, Wataru

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 285 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9951572	A1	19991014	WO 1999-JP1751	19990402
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, PT, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2327290	A1	19991014	CA 1999-2327290	19990402
AU 9929615	A	19991025	AU 1999-29615	19990402
AU 756248	B2	20030109		
JP 2000319250	A	20001121	JP 1999-96827	19990402
BR 9909398	A	20001226	BR 1999-9398	19990402
EP 1069110	A1	20010117	EP 1999-910822	19990402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
TR 200002877	T2	20010122	TR 2000-2877	19990402
HU 2001002196	A2	20020629	HU 2001-2196	19990402
HU 2001002196	A3	20021228		
RU 2217418	C2	20031127	RU 2000-124945	19990402
IN 2000KN00352	A	20050311	IN 2000-KN352	20000925
NO 2000004949	A	20001107	NO 2000-4949	20001002
ZA 2000005342	A	20021205	ZA 2000-5342	20001002
US 6673804	B1	20040106	US 2000-678294	20001002
MX 2000009744	A	20010911	MX 2000-9744	20001004
PRIORITY APPLN. INFO.:			JP 1998-91819	A 19980403
			JP 1999-53164	A 19990301
			WO 1999-JP1751	W 19990402

OTHER SOURCE(S): MARPAT 131:286264

GI

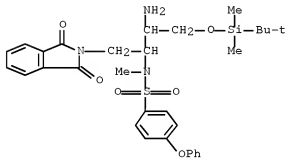
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. R5OR4SO2N(R3)CH(R2)COR1 [I; wherein R1 is H or NHOH; R2 is H, optionally substituted alkyl, cycloalkyl, or AR6 (wherein A is O, S(O)m, or alkylene optionally interrupted by N(R9); and R6 is a group represented by Q, Q1, Q2 wherein X is O, S, N(R10), or C(R11)(R12); Y is O, CO, S(O)n, N(R10), or C(R11)(R12); R7 and R8 each is H, alkyl, COOH, optionally substituted alkyl, etc.; R9, R10, R11, and R12 each is H, alkyl, etc.; and m and n each is 0 to 2; R3 is H, optionally substituted alkyl, optionally substituted cycloalkyl, optionally substituted alkenyl, or optionally substituted alkynyl; R4 is optionally substituted (hetero)arylene; and R5 is optionally substituted alkyl or optionally substituted (hetero)aryl], stereoisomers, pharmacol. acceptable salts, esters, or other derivs. thereof are prepared and tested as matrix metalloproteinase-13 inhibitors and aggreganase inhibitors. Thus, the title compound II was prepared

IT 246264-50-6P 246264-51-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of phenylsulfonamides as proteinase and aggrecanase
 inhibitors)

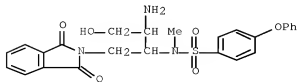
RN 246264-50-6 HCAPLUS

CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoin-
 2-yl)methyl]-3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-N-methyl-4-
 phenoxy- (CA INDEX NAME)



RN 246264-51-7 HCAPLUS

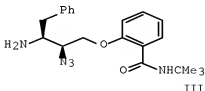
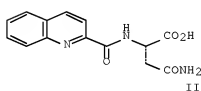
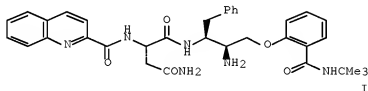
CN Benzenesulfonamide, N-[2-amino-1-[(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)methyl]-3-hydroxypropyl]-N-methyl-4-phenoxy- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1997:805573 HCAPLUS Full-text
 DOCUMENT NUMBER: 128:48499
 ORIGINAL REFERENCE NO.: 128:9535a,9538a
 TITLE: Preparation of asparagine-containing peptides as renin and HIV-1 protease inhibitors
 INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 140,808, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693815	A	1997/1202	US 1995-491854	1995/07/14
WO 941/096	A1	1994/0804	WO 1994-US330	1994/01/14
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9400319	A	1994/07/18	ZA 1994-319	1994/01/17
PRIORITY APPLN. INFO.:			US 1993-6086	B2 1993/01/19
			US 1993-140808	B2 1993/01/21
			WO 1994-US330	W 1994/01/14
OTHER SOURCE(S):			MARPAT 128:48499	
GI				



AB Title compds. ArWN(Z)CH(Q)CONHCH(R1)CH(U)CH2OL (Ar = naphthyl, biphenyl, quinoxaliny, cinnolinyl, pyridinyl, anthraquinonyl, (substituted)quinolinyl,

etc.; W = SO₂, CO; Z = H; Q = CH₂CONH₂, CH(Me)Et, etc.; ZQ = (CH₂)₃, (CH₂)₄; R₁ = Ph, CH₂Ph, CH₂CH₂Ph, CH₂C₆H₁₁, etc.; U = N₃, NH₂, NHC(=O)CH₃, etc.; L = C₆H₄COR₂, C₆H₁₀COR₂, etc.; R₂ = NHC(=O)-12alkyl, OC(=O)-12alkyl, etc.) and their epimers or racemates thereof, or pharmaceutically acceptable salts were prepared as renin and HIV-1 protease inhibitors. The synthesis of title compound I included the stepwise coupling of Na-protected amino acid II with amine III in presence of Et₃N and coupling reagent BOP in CH₂Cl₂ to give the intermediate azide and reduction of the azide with H₂ and Pd/C. Title compound I inhibited the growth of HIV-1 in tissue culture cell assays with an IC₅₀ value of 1.4 µg/mL.

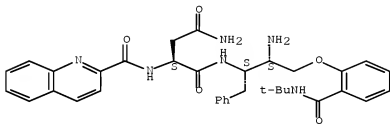
IT 162240-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



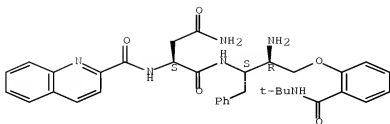
IT 162128-16-7 162128-18-9 162128-20-3
162128-22-5 162128-24-7 162128-26-9
162128-31-6 162128-34-9 199796-16-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162128-16-7 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

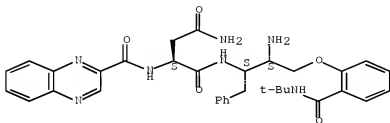
Absolute stereochemistry.



RN 162128-18-9 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxalinyloxy)amino]-, (2S)- (CA INDEX NAME)

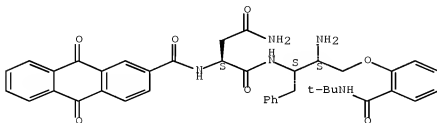
Absolute stereochemistry.



RN 162128-20-3 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

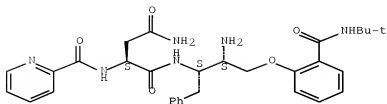
Absolute stereochemistry.



RN 162128-22-5 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinyloxy)amino]-, (2S)- (CA INDEX NAME)

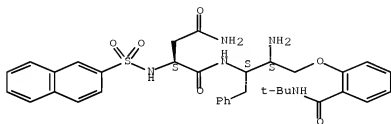
Absolute stereochemistry.



RN 162128-24-7 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

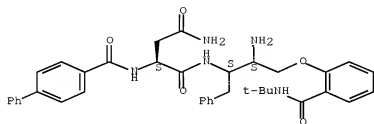
Absolute stereochemistry.



RN 162128-26-9 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[[(1,1'-biphenyl)-4-ylcarbonyl]amino]-, (2S)- (CA INDEX NAME)

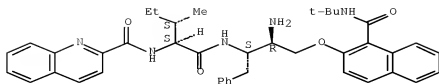
Absolute stereochemistry.



RN 162128-31-6 HCAPLUS

CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[1-[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

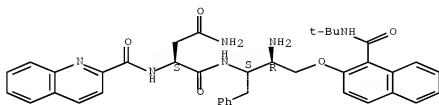
Absolute stereochemistry.



RN 162128-34-9 HCAPLUS

CN Butanediamide, N1-[(1S, 2R)-2-amino-3-[[1-[[[(1, 1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

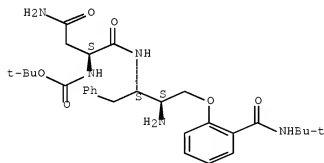
Absolute stereochemistry.



RN 199796-16-2 HCAPLUS

CN Carbamic acid, [3-amino-1-[[[2-amino-3-[2-[[[(1, 1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]-, 1, 1-dimethylethyl ester, [1S-[1R*(R*), 2R*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



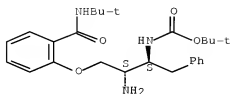
IT 162128-39-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of asparagine-containing peptides as renin and HIV protease inhibitors)

RN 162128-39-4 HCAPLUS

CN Carbamic acid, [(1S, 2S)-2-amino-3-[2-[[[(1, 1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1, 1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:478086 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 122:240451

ORIGINAL REFERENCE NO.: 122:43969a, 43972a

TITLE: Preparation of peptides having anti-HIV activity.

INVENTOR(S): Bennett, Frank; Girijavallabhan, Viyyoor M.; Patel, Naginbhai M.

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417096	A1	19940804	WO 1994-US330	19940114
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9461617	A	19940815	AU 1994-61617	19940114
ZA 9400319	A	19940718	ZA 1994-319	19940117
US 5693815	A	19971202	US 1995-491854	19950714
PRIORITY APPLN. INFO.:			US 1993-6086	A2 19930117
			US 1993-140808	A2 19931021
			WO 1994-US330	W 19940114
OTHER SOURCE(S):	MARPAT 122:240451			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; Ar = Q1-Q4, biphen-4-yl, naphthyl, etc.; R10 = H, OH; W = CO, SO₂; Q = α -CH₂CONH₂, α -CH₂CONHMe₂, α -CH₂Ph, α -CH₂NHCHO, α -CMe₃, α -CH₂CH₂SMe, Q5, etc.; Z = H; ZQ = (CH₂)₃, (CH₂)₄; R1 = β -CH₂Ph, β -CH₂C₆H₄OH-p, β -Ph, β -CH₂CH₂Ph, etc.; U = α -N₃, β -N₃, α -NH₂, β -NH₂, α -NHCHO, β -NHCHO, α -SH, β -SH, etc.; L = Q6, Q7, morpholino, piperidinyl, NHCH₂CH₂OCH₂CH₂OCH₂CH₂OCH₂Me, etc.], and related compds., were prepared Thus, title compound (II) (prepared

by solution phase methods) inhibited growth of HIV-1 in CEM-SS cells with IC50 = 1.4 µg/mL.

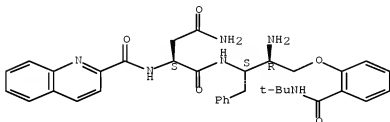
IT 162128-16-7P 162128-18-9P 162128-20-3P
162128-22-5P 162128-24-7P 162128-26-9P
162128-28-1P 162128-31-6P 162128-34-9P
162240-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides having anti-HIV activity)

RN 162128-16-7 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[2-[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

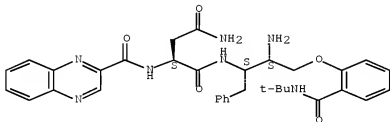
Absolute stereochemistry.



RN 162128-18-9 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinoxaliny carbonyl)amino]-, (2S)- (CA INDEX NAME)

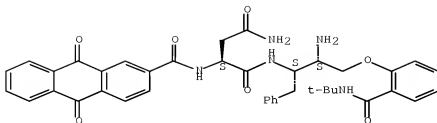
Absolute stereochemistry.



RN 162128-20-3 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)carbonyl]amino]-, (2S)- (CA INDEX NAME)

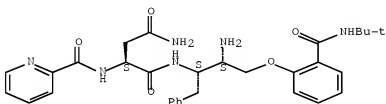
Absolute stereochemistry.



RN 162128-22-5 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-pyridinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

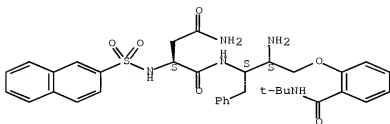
Absolute stereochemistry.



RN 162128-24-7 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-naphthalenylsulfonyl)amino]-, (2S)- (CA INDEX NAME)

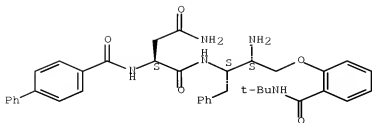
Absolute stereochemistry.



RN 162128-26-9 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[[[(1,1'-biphenyl)-4-ylcarbonyl]amino]-, (2S)- (CA INDEX NAME)

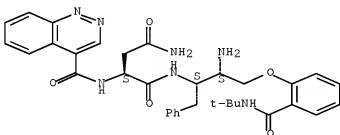
Absolute stereochemistry.



RN 162128-28-1 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(4-cinnolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

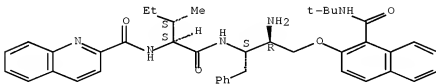
Absolute stereochemistry.



RN 162128-31-6 HCAPLUS

CN 2-Quinolinecarboxamide, N-[(1S,2S)-1-[[[(1S,2R)-2-amino-3-[[1-[[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylbutyl]- (CA INDEX NAME)

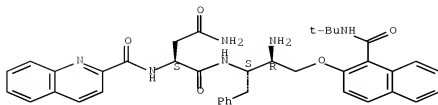
Absolute stereochemistry.



RN 162128-34-9 HCAPLUS

CN Butanediamide, N1-[(1S,2R)-2-amino-3-[[1-[[[(1,1-dimethylethyl)amino]carbonyl]-2-naphthalenyl]oxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

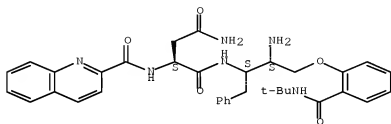
Absolute stereochemistry.



RN 162240-00-8 HCAPLUS

CN Butanediamide, N1-[(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



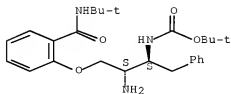
IT 162128-39-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides having anti-HIV activity)

RN 162128-39-4 HCAPLUS

CN Carbamic acid, [(1S,2S)-2-amino-3-[2-[[[(1,1-dimethylethyl)amino]carbonyl]phenoxy]-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L65 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

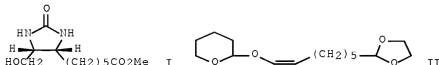
ACCESSION NUMBER: 1993:124257 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 118:124257

ORIGINAL REFERENCE NO.: 118:21529a,21532a

TITLE: Biotin biosynthesis: synthesis of the postulated intermediate

AUTHOR(S): Mingotaud, A. F.; Florentin, D.; Marquet, A.
 CORPORATE SOURCE: Lab. Chim. Org. Biol., Univ. Paris VI, Paris, 75252, Fr.
 SOURCE: Bulletin de la Societe Chimique de France (1992), 129(5), 457-62
 CODEN: BSCFAS; ISSN: 0037-8968
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 GI



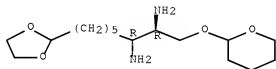
AB The postulated intermediate I in the biosynthesis of biotin was prepared. Several methods for constructing the imidazolidine ring were examined. I was prepared from the alkene II via epoxidn., conversion of the epoxide to a diazide, and cyclization of the corresponding diamine with triphosgene.

IT 146306-69-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and cyclization of, with triphosgene)

RN 146306-69-6 HCAPLUS

CN 2,3-Octanediamine, 8-(1,3-dioxolan-2-yl)-1-[(tetrahydro-2H-pyran-2-yl)oxy]-, (2R*,3R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L65 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:615717 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:215717

ORIGINAL REFERENCE NO.: 89:33537a,33540a

TITLE: Cyclic urea derivatives

INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi; Kitamura, Seiichi

PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073562	A	19780630	JP 1976-148920	19761211
JP 60021149	B	19850525		

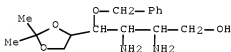
PRIORITY APPLN. INFO.: JP 1976-148920 A 19761211

AB Hydrogenation of 2,3-diazido-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol over Lindlar catalyst at room temperature gave 2,3-diamino-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol (I). To an aqueous mixture of I and Na2CO3 was added 3% COCl2/CCl4 at 0-5° and the whole was stirred 1 h to give 2,3-diamino-4-O-benzyl-2,3-N-carbonyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol (II). Ac2O was added to II in pyridine with ice cooling and the mixture was stirred 1 h at room temperature to give 1-O-acetyl-2,3-diamino-4-O-benzyl-2,3-N-carbonyl-2,3-dideoxy-D- allitol.

IT 65023-56-5F
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and phosgenation of)

RN 65023-56-5 HCAPLUS

CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



L65 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:580013 HCAPLUS Full-text

DOCUMENT NUMBER: 89:180013

ORIGINAL REFERENCE NO.: 89:27963a,27966a

TITLE: d-Biotin methyl ester

INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi; Kitamura, Seiichi

PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.
 CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

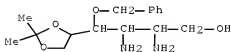
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073588	A	19780630	JP 1976-148893	19761210
JP 61015074	B	19860422		

PRIORITY APPLN. INFO.: JP 1976-148893 A 19761210

AB In 11 steps 1,6:2,3-dianhydro-4-O-benzyl-β-D-mannopyranose was converted to 5-O-acetyl-3,4-diamino-2-O-benzyl-3,4-N-carbonyl-3,4-dideoxy- D-ribose, which was treated with (3-carbomethoxy-2- propenylidene)triphenylphosphorane, and the product was hydrogenated and then deacetylated to give Me 7,8-diamino-7,8-N-carbonyl-2,3,4,5,7,8- hexadeoxy-L-ribo-nonanoate (I). I was treated with MeSO2Cl and then Na2S to give d-biotin Me ester.

IT 65023-56-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (intermediate, in synthesis of biotin Me ester)
 RN 65023-56-5 HCAPLUS
 CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-
 (phenylmethyl)- (9CI) (CA INDEX NAME)



L65 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1978:563902 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 89:163902

ORIGINAL REFERENCE NO.: 89:25413a,25416a

TITLE: 2,3-Diamino-4-O-benzyl-2,3-dideoxy-5,6-O-
isopropylidene-D-allitol

INVENTOR(S): Matsui, Masanao; Ogawa, Tomoya; Kono, Takashi;
Kitamura, Seiichi

PATENT ASSIGNEE(S): Teikoku Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 53073508	A	19780630	JP 1976-148919	19761211
JP 60041060	B	19850913		

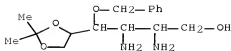
PRIORITY APPLN. INFO.: JP 1976-148919 A 19761211

AB A mixture of 2-azido-4-O-benzyl-2-deoxy-3-O-methanesulfonyl- α (and β)-D-glucose, H₃BO₃, and NaBH₄ in EtOH was stirred at room temperature to give 2-azido-4-O-benzyl-2-deoxy-3-O-methanesulfonyl-D-glucitol, which was stirred with 4-MeC₆H₄SO₃H and dimethoxypropane in DMF 1 h at room temperature to give 2-azido-4-O-benzyl-2-deoxy-5,6-O-isopropylidene-3-O-methanesulfonyl-D-glucitol, which was treated with LiN₃ in DMF 5 h at 80° to give 2,3-diazido-4-O-benzyl-2,3-dideoxy-5,6-O-isopropylidene-D-allitol, which was hydrogenated with Lindlar catalyst in EtOH at room temperature to give the title diaminoallitol.

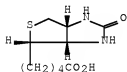
IT 65023-56-5
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 65023-56-5 HCAPLUS

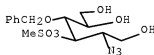
CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-
 (phenylmethyl)- (9CI) (CA INDEX NAME)



L65 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 1978:22749 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 88:22749
 ORIGINAL REFERENCE NO.: 88:3653a
 TITLE: A biomimetic synthesis of (+)-biotin from D-glucose
 AUTHOR(S): Ogawa, Tomoya; Kawano, Takashi; Matsui, Masanao
 CORPORATE SOURCE: Inst. Phys. Chem. Res., Wako, Japan
 SOURCE: Carbohydrate Research (1977), 57, C31-C35
 CODEN: CRBRAT; ISSN: 0008-6215
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

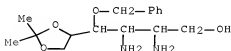


I



II

AB A total synthesis of (+)-biotin (I) from D-glucose via the key intermediate II was carried out.
 IT 65023-56-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction with phosgene)
 RN 65023-56-5 HCAPLUS
 CN D-Allitol, 2,3-diamino-2,3-dideoxy-5,6-O-(1-methylethylidene)-4-O-(phenylmethyl)- (9CI) (CA INDEX NAME)



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